# (FILE 'HOME' ENTERED AT 16:48:25 ON 22 DEC 2002)

	FILE 'REGISTRY' ENTERED AT 16:48:34 ON 22 DEC 2002 E "3'-DEOXYCYTIDINE"/CN 25														
L1	1 S E3														
	E "3'-DEOXYURIDINE"/CN 25														
L2	1 S E3														
	E "3'-DEOXYURIDINE"/CN 25														
	E "3'-FLUORO-3'-DEOXYURIDINE"/CN 25														
L3	1 S E1														
	FILE 'CAPLUS, MEDLINE, USPATFULL' ENTERED AT 16:53:35 ON 22 DEC 2002														
L4	319 F L3														
L5	319 S L3														
L6	40 S L5 AND HEPATITIS														
L7	4 S L6 AND HEPATITIS C														
L8	1 S L7 AND (INTERFERON OR RIBARIRIN OR AMANTADINE OR RIMANTADINE														
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FILE 'CAPLUS' ENTERED AT 16:04:28 ON 22 DEC 2002 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS. COPYRIGHT (C) 2002 AMERICAN CHEMICAL SOCIETY (ACS)

FILE 'MEDLINE' ENTERED AT 16:04:28 ON 22 DEC 2002

FILE 'USPATFULL' ENTERED AT 16:04:28 ON 22 DEC 2002
CA INDEXING COPYRIGHT (C) 2002 AMERICAN CHEMICAL SOCIETY (ACS)

=> s 12

L3 80 L2

=> s 12 and hepatitis

L4 9 L2 AND HEPATITIS

=> d 14 1-9 bib abs hitstr

#### => d 14 1-9 bib abs hitstr

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L4 ANSWER 1 OF 9 CAPLUS COPYRIGHT 2002 ACS
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AN 2002:555629 CAPLUS

DN 137:125359

TI Preparation of nucleoside derivatives as inhibitors of RNA-dependent RNA viral polymerase

IN Carroll, Steven S.; Lafemina, Robert L.; Hall, Dawn L.; Himmelberger, Amy
L.; Kuo, Lawrence C.; Maccoss, Malcolm; Olsen, David B.; Rutkowski, Carrie
A.; Tomassini, Joanne E.; An, Haoyun; Bhat, Balkrishen; Bhat, Neelima;
Cook, Phillip Dan; Eldrup, Anne B.; Guinosso, Charles J.; Prhavc, Marija;
Prakash, Thazha P.

PA Merck & Co., Inc., USA; Isis Pharmaceuticals, Inc.

SO PCT Int. Appl., 235 pp. CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 2

	PATENT NO.					KIND DATE APPLICATION NO. DATE													
									•										
PΙ	WO	O 2002057425			A:	A2 20020725				M	200	02-U	S153	20020118					
		W:	ΑE,	AG,	AL,	AM,	AT,	ΑU,	ΑZ,	BA,	BB,	BG,	BR,	BY,	BZ,	CA,	CH,	CN,	
			CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	ES,	ΓI,	GB,	GD,	GE,	GH,	
			GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	ΚE,	ΚG,	KR,	ΚZ,	LC,	LK,	LR,	LS,	
			LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	ΜZ,	NO,	ΝZ,	OM,	PH,	PL,	
			PT,	RO,	RU,	SD,	SE,	SG,	SI,	SK,	SL,	ТĴ,	TM,	TN,	TR,	TT,	ΤZ,	UA,	
			UG,	US,	UZ,	VN,	YU,	ZA,	ZM,	ZW,	AM,	ΑZ,	BY,	KG,	ΚZ,	MD,	RU,	ТJ,	TM
		RW:	GH,	GM,	ΚE,	LS,	MW,	ΜZ,	SD,	SL,	SZ,	ΤZ,	UG,	ZM,	ZW,	ΑT,	BE,	CH,	
			CY,	DE,	DK,	ES,	FI,	FR,	GB,	GR,	ΙE,	ΙΤ,	LU,	MC,	NL,	PT,	SE,	TR,	
			BF,	ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,	MR,	ΝE,	SN,	TD,	TG	
	US 2002147160			A1 20021010					US 2002-52318 20020118						0118				
PRAI	US	2001	-263	313P	P		2001	0122											
	US	2001	-282	069P	Ρ		2001	0406											
	US	2001	-299	320P	Р		2001	0619											
	US	2001	-344	528P	Р		2001	1025											
OS	MARPAT 137:125359																		
GI																			

The present invention provides the prepn. of nucleoside compds. I, wherein B is nucleobase, Y is H, alkylcarbonyl, phosphate; R1 is H, alkenyl, alkynyl, alkyl; R2 and R3 are independently H, OH, halogen, alkyl, alkoxy, alkenyloxy, alkylthio, alkylcarbonyloxy, aryloxycrbonyl, azido, amino, alkylamino; R1 and R2 together with the carbon atom to which they are attached form a 3- to 6-membered heterocycle; R4 is H, OH, SH, NH2, alkylamino, cycloalkylamino, halogen, alkyl, alkoxy, CF3; R5 and R6 are independently H, hydroxymethyl, Me, fluoromethyl; and certain derivs. thereof which are inhibitors of RNA-dependent RNA viral polymerase. These compds. are inhibitors of RNA-dependent RNA viral replication and are useful for the treatment of RNA-dependent RNA viral infection. They are particularly useful as inhibitors of hepatitis C virus (HCV) NS5B polymerase, as inhibitors of HCV replication, and/or for the treatment of hepatitis C infection. The invention also describes pharmaceutical compns. contg. such nucleoside compds. alone or

in combination with other agents active against RNA-dependent RNA viral infection, in particular HCV infection. Also disclosed are methods of inhibiting RNA-dependent RNA polymerase, inhibiting RNA-dependent RNA viral replication, and/or treating RNA-dependent RNA viral infection with the nucleoside compds. of the present invention. Thus, 4-amino-1-(2-C-methyl-.beta.-D-ribofuranosyl)-1H-pyrazolo[3,4-d]pyrimidine was prepd. as inhibitors of RNA-dependent RNA viral polymerase. Representative compds. tested in the HCV NS5B polymerase assay exhibited IC's less than 100 .mu.M. The compds. of the present invention were also evaluated for their ability to affect the replication of Hepatitis C Virus RNA in cultured hepatoma (HuH-7) cells contg. a sub-genomic HCV Replicon.

### IT 123402-24-4P 123402-25-5P

RL: IMF (Industrial manufacture); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of nucleoside derivs. as inhibitors of RNA-dependent human RNA viral polymerase)

RN 123402-24-4 CAPLUS

CN Uridine 5'-(tetrahydrogen triphosphate), 3'-deoxy-3'-fluoro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 123402-25-5 CAPLUS

Absolute stereochemistry.

- L4 ANSWER 2 OF 9 CAPLUS COPYRIGHT 2002 ACS
- AN 2002:504634 CAPLUS
- DN 137:57536
- TI Remedies for hepatitis C
- IN Morioka, Masahiko; Ubasawa, Masaru; Arai, Masaaki
- PA Mitsubishi Pharma Corporation, Japan
- SO PCT Int. Appl., 38 pp.
  - CODEN: PIXXD2
- DT Patent
- LA Japanese

FAN.CNT 1

```
APPLICATION NO.
                                                            DATE.
     PATENT NO.
                      KIND
                            DATE
                                           ______
                            20020704
                                           WO 2001-JP11365 20011225
PΙ
     WO 2002051425
                      A1
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             GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
             LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,
             PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ,
             UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU,
             TJ, TM
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH,
             CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR,
             BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
PRAI JP 2000-394620
                            20001226
                      Α
     JP 2001-23542
                            20010131
                       Α
     JP 2001-105585
                            20010404
                       Α
OS
     MARPAT 137:57536
     Excellent remedies for hepatitis C which contain as the active
AΒ
     ingredients a 3'-deoxy-3'-fluorouridine deriv. and a 1-(3'-deoxy-3'-fluoro-
     .beta.-L-ribofuranosyl)uracil deriv. and show little side effects.
     57944-13-5DP, 3'-Deoxy-3'-fluorouridine, derivs.
IT
     112668-56-1P 123402-24-4P 125217-37-0P
     439579-20-1P 439579-21-2P 439579-22-3P
     439579-24-5P 439579-25-6P 439579-26-7P
     439579-28-9P 439579-32-5P 439579-34-7P
     439579-36-9P 439579-37-0P 439579-38-1P
     439579-40-5P 439579-41-6P 439579-42-7P
     439579-43-8P
     RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
     (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
     (Uses)
        (deoxy-3'-fluorouridine deriv. and a 1-(3'-deoxy-3'-fluoro--L-
        ribofuranosyl)uracil deriv. as remedies for hepatitis C)
     57944-13-5 CAPLUS
RN
CN
     Uridine, 3'-deoxy-3'-fluoro- (9CI) (CA INDEX NAME)
```

Absolute stereochemistry.

RN 112668-56-1 CAPLUS CN Uridine, 3'-deoxy-3',5-difluoro- (9CI) (CA INDEX NAME)

RN 123402-24-4 CAPLUS
CN Uridine 5'-(tetrahydrogen triphosphate), 3'-deoxy-3'-fluoro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 125217-37-0 CAPLUS CN Uridine, 3'-deoxy-3'-fluoro-5-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 439579-20-1 CAPLUS CN Uridine, 5-cyano-3'-deoxy-3'-fluoro- (9CI) (CA INDEX NAME)

RN 439579-21-2 CAPLUS
CN Uridine, 3'-deoxy-3'-fluoro-5-(trifluoromethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 439579-22-3 CAPLUS
CN Uridine, 5-bromo-3'-deoxy-3'-fluoro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 439579-24-5 CAPLUS CN Uridine, 3'-deoxy-3'-fluoro-2-thio- (9CI) (CA INDEX NAME)

RN 439579-25-6 CAPLUS CN Uridine, 3'-deoxy-3'-fluoro-4-thio- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 439579-26-7 CAPLUS CN 2,4(1H,3H)-Pyrimidinedione, 1-(3-deoxy-3-fluoro-.beta.-L-ribofuranosyl)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 439579-28-9 CAPLUS CN 2,4(1H,3H)-Pyrimidinedione, 1-(3-deoxy-3-fluoro-.beta.-L-ribofuranosyl)-5fluoro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 439579-34-7 CAPLUS
CN Uridine 5'-(tetrahydrogen triphosphate), 3'-deoxy-3'-fluoro-5-methyl(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 439579-36-9 CAPLUS
CN Uridine 5'-(tetrahydrogen triphosphate), 5-cyano-3'-deoxy-3'-fluoro- (9CI)
(CA INDEX NAME)

Absolute stereochemistry.

RN 439579-37-0 CAPLUS
CN Uridine 5'-(tetrahydrogen triphosphate), 3'-deoxy-3'-fluoro-5-(trifluoromethyl)- (9CI) (CA INDEX NAME)

RN 439579-38-1 CAPLUS
CN Uridine 5'-(tetrahydrogen triphosphate), 5-bromo-3'-deoxy-3'-fluoro- (9CI)
(CA INDEX NAME)

Absolute stereochemistry.

RN 439579-40-5 CAPLUS
CN Uridine 5'-(tetrahydrogen triphosphate), 3'-deoxy-3'-fluoro-2-thio- (9CI)
(CA INDEX NAME)

Absolute stereochemistry.

RN 439579-41-6 CAPLUS
CN Uridine 5'-(tetrahydrogen triphosphate), 3'-deoxy-3'-fluoro-4-thio- (9CI) (CA INDEX NAME)

RN 439579-42-7 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[3-deoxy-3-fluoro-5-0-[hydroxy[[hydroxy(phosphonooxy)phosphinyl]oxy]phosphinyl]-.beta.-L-ribofuranosyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 439579-43-8 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[3-deoxy-3-fluoro-5-O-[hydroxy[[hydroxy(phosphonooxy)phosphinyl]oxy]phosphinyl]-.beta.-L-ribofuranosyl]-5-fluoro-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 9 CAPLUS COPYRIGHT 2002 ACS

AN 2002:314958 CAPLUS

DN 136:340939

- TI Preparation of modified nucleosides for treatment of viral infections and abnormal cellular proliferation
- IN Stuyver, Lieven; Watanabe, Kyoichi A.
- PA Pharmasset Limited, USA
- SO PCT Int. Appl., 230 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 2

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PATENT NO.
                      KIND
                            DATE
                                            APPLICATION NO.
                                           WO 2001-US46113
PΙ
     WO 2002032920
                       A2
                            20020425
         W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
             CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM,
             HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS,
             LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO,
             RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ,
             VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
             DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,
             BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
     AU 2002028749
                       A5
                            20020429
                                           AU 2002-28749
                                                             20011018
PRAI US 2000-241488P
                       Ρ
                            20001018
     US 2001-282156P
                       P
                            20010406
     WO 2001-US46113
                            20011018
                       W
GΙ
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Modified nucleosides, e.g. I, wherein D is hydrogen, alkyl, acyl, AB monophosphate, diphosphate, triphosphate, monophosphate ester, diphosphate ester, triphosphate ester, phospholipid or amino acid; X is H, halogen, NH2, substituted amine, oxime, OH, alkoxy, SH, thioalkyl; Y is O, S, Se; R and R1 are independently H, alkyl, alkenyl, alkynyl, aryl, alkylaryl, halogen, NH2, substituted amine, oxime, hydrazine, OH, alkoxy, SH, thioalkyl, NO2, NO, CH2OH, CH2OH, ester, CONH2, amide, CN; R2 and R3 are independently H, halogen, OH, SH, OMe, SMe, NH2, NHMe, CH:CH2, CN, CH2NH2, CH2OH, CO2H; were prepd. for treating a Flaviviridae (including BVDV and HCV), Orthomyxoviridae (including Influenza A and B) or Paramyxoviridae (including RSV) infection, or conditions related to abnormal cellular proliferation, in a host, including animals, and esp. humans. This invention also provides an effective process to quantify the viral load, and in particular BVDV, HCV or West Nile Virus load, in a host, using real-time polymerase chain reaction ("TR-PCR"). Addnl., the invention discloses probe mols. that can fluoresce proportionally to the amt. of virus present in a sample. Thus, (1'R,2'S,3'R,4'R)-1-[2,3-dihydroxy-4-(hydroxymethyl)cyclopentan-1-yl]-5-fluorocytosine was prepd. and tested in vitro as antiviral and antitumor agent. ΙT

#### 60786-48-3P 415704-55-1P

Ι

RL: IMF (Industrial manufacture); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of modified nucleosides for treatment of viral infections and abnormal cellular proliferation)

60786-48-3 CAPLUS

RN

CN

2(1H)-Pyrimidinone, 4-amino-1-(3-azido-3-deoxy-.beta.-D-arabinofuranosyl)-

#### (9CI) (CA INDEX NAME)

Absolute stereochemistry.

415704-55-1 CAPLUS RN 2(1H) -Pyrimidinone, 4-amino-1-(3-azido-3-deoxy-.beta.-L-arabinofuranosyl)-CN (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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ANSWER 4 OF 9 CAPLUS COPYRIGHT 2002 ACS
L4
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AN 2002:171918 CAPLUS

DN 136:217007

- ΤI Preparation of antiviral nucleoside derivatives as inhibitors of subgenomic hepatitis C virus RNA replication
- Devos, Rene; Dymock, Brian William; Hobbs, Christopher John; Jiang, ΙN Wen-rong; Martin, Joseph Armstrong; Merrett, John Herbert; Najera, Isabel; Shimma, Nobuo; Tsukuda, Takuo
- F. Hoffmann-La Roche Ag, Switz. PA
- PCT Int. Appl., 225 pp. SO

CODEN: PIXXD2

DTPatent

LA English FAN.CNT 1

PATENT NO. KIND DATE APPLICATION NO. \_\_\_\_\_ \_\_\_\_\_ -----A2 20020307 WO 2001-EP9633 PΙ WO 2002018404 AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL,

PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

20010821

AU 2001-95497 20010821

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

AU 2001095497 Α5 20020313 PRAI GB 2000-21285 Α 20000830 20001031 GB 2000-26611 Α 20010821

W

WO 2001-EP9633 MARPAT 136:217007 OS

AB Nucleosides I, wherein R1 is hydrogen, hydroxy, alkyl, hydroxyalkyl, alkoxy, halogen, cyano, isocyano or azido; R2 is hydrogen, hydroxy, alkoxy, chlorine, bromine or iodine; R3 is hydrogen; or R2 and R3 together represent =CH2; or R2 and R3 represent fluorine; X is O, S or CH2; B is a substituted purine base, were prepd. as inhibitors of subgenomic hepatitis C virus (HCV) RNA replication. Thus, nucleoside II was prepd. and tested for the inhibition of HCV RNA replication (EC50 = 0.6 .mu.M).

IT 26563-01-9P 125217-37-0P 129885-95-6P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of antiviral nucleoside derivs. as inhibitors of subgenomic hepatitis C virus RNA replication)

RN 26563-01-9 CAPLUS

CN 2(1H)-Pyrimidinone, 4-amino-1-(3-deoxy-3-fluoro-.beta.-D-xylofuranosyl)(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 125217-37-0 CAPLUS CN Uridine, 3'-deoxy-3'-fluoro-5-methyl- (9CI) (CA INDEX NAME)

129885-95-6 CAPLUS RN

2,4(1H,3H)-Pyrimidinedione, 1-(3-deoxy-3-fluoro-.beta.-D-xylofuranosyl)-CN (CA INDEX NAME) (9CI)

Absolute stereochemistry.

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ANSWER 5 OF 9 CAPLUS COPYRIGHT 2002 ACS
L4
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ΑN 2001:617773 CAPLUS

DN 135:175346

ΤI Method for the treatment or prevention of flavivirus infections using nucleoside analogues

Ismaili, Hicham Moulay Alaoui; Cheng, Yun-Xing; Lavallee, Jean-Francois; ΙN Siddiqui, Arshad; Storer, Richard

PA Biochem Pharma Inc., Can.

SO PCT Int. Appl., 51 pp.

CODEN: PIXXD2

DT Patent

English LA

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FAN.CNT 1
     PATENT NO.
                                                  APPLICATION NO.
                          KIND
                                 DATE
PΙ
     WO 2001060315
                          A2
                                 20010823
                                                  WO 2001-CA197
                                                                       20010219
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               CR, CU, CZ, DE, DK, DM,
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          RW: GH, GM, KE, LS, MW, MZ, SD,
               BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
     AU 2001035278
                           A5
                                 20010827
                                                  AU 2001-35278
                                                                       20010219
     US 2002019363
                           A1
                                 20020214
                                                  US 2001-785235
                                                                       20010220
PRAI US 2000-183349P
                           Ρ
                                 20000218
     WO 2001-CA197
                           W
                                 20010219
```

OS MARPAT 135:175346

AB The present invention relates to a method for the treatment or prevention of Flavivirus infections using nucleoside analogs in a host comprising administering a therapeutically effective amt. of the nucleoside analog or a pharmaceutically acceptable salt thereof.

# IT 70580-87-9 85708-20-9 123402-20-0 123402-25-5

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(method for treatment or prevention of flavivirus infections using nucleoside analogs and their combination with other agents in relation to **hepatitis** C virus RNA-dependent RNA polymerase (NS5B protein))

RN 70580-87-9 CAPLUS

CN Cytidine, 3'-azido-3'-deoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 85708-20-9 CAPLUS

Absolute stereochemistry.

RN 123402-20-0 CAPLUS

CN Cytidine, 3'-deoxy-3'-fluoro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 123402-25-5 CAPLUS

CN Cytidine 5'-(tetrahydrogen triphosphate), 3'-deoxy-3'-fluoro- (9CI) (CA INDEX NAME)

L4 ANSWER 6 OF 9 CAPLUS COPYRIGHT 2002 ACS

AN 1990:36387 CAPLUS

DN 112:36387

TI Preparation of D-arabino- and ribofuranosylpurine and pyrimidine nucleosides for treatment of retrovirus infections

PA Aktieselskabet Atlas, Swed.

SO Jpn. Kokai Tokkyo Koho, 21 pp.

CODEN: JKXXAF

DT Patent

LA Japanese

FAN.CNT 2

FAN.C	NT	2														
	PA?	TENT	NO.		KI	ND.	DATE			AF	PLI	NO.	DATE .			
PI	I JP 01151595				A2	2	19890614			JE	19	63	19881102			
	ΕP	3223	884		A.	L	19890628			EE	19	70	19881027			
	EP 322384					l	19960313									
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	AΤ	1353	163		E		1996	0315		ΓA	19	8-88	503	70	19881	.027
	DK 8806029 AU 8824522			Α		1989	0504		DF	( 19	1988-602			198810	.028	
				A1		1989	0504		ΑU	19	88-2	452	2	19881	031	
	AU 615681				В2	2	19911010									
PRAI	PRAI SE 1987-4298						1987	1103								
OS	MAI	RPAT	112:3	36387	1				•							
GI																

$$R^{7}CH_{2}$$
 $R^{5}$ 
 $R^{7}OCH_{2}$ 
 $R^{7}OCH_{2}$ 
 $R^{5}$ 
 $R^{7}OCH_{2}$ 
 $R^{7}OCH_{2}$ 
 $R^{7}OCH_{2}$ 
 $R^{7}OCH_{2}$ 
 $R^{7}OCH_{2}$ 
 $R^{7}OCH_{2}$ 

$$Q = N \qquad R^2 \qquad Q^1 = R^4 \qquad N \qquad N$$

The title nucleosides [I; A = Q, Q1; R1 = OH, NH2; R2 = H, F, C1, Br, iodo, CF3, Me, Et, Bu, Me2CH, cyclopropyl, CH2OH, CH2SH, CH2OMe, CHMe2OH, CH2SMe, CH:CH2, CH:CHMe, CH:CHCF3, CMe:CH2, CH2CH:CH2, C.tplbond.CH, C.tplbond.CMe, C.tplbond.CCF3, CH2C.tplbond.CH; R3, R4 = H, OH, NH2; R5 = H, O, OMe; R6 = H, F, Cl, Br, iodo, OMe, cyano, C.tplbond.CH, N3; R7 = F, Cl, Br, iodo, OH, OR8, O2CR9, O2CR10, OSO2R10, PO3H; R8 = C1-6 alkyl, (un) substituted arylalkyl; R9 = H, R10; = C1-17 alkyl, (un) substituted arylalkyl or aryl; with various provison that, e.g. (a) when R5 = H, R6 .noteq. H, N3 and (b) when R5 = H, R7 = OH, A = thymine, cytosine, .beta.-adenine or .beta.-guanidine, R6 .noteq. F], more specifically (II; R = Pr; R7 = H) (III) and their pharmacol. acceptable salt are prepd. for the treatment of infection with retrovirus [e.g. human immunodeficiency virus (HIV)] or hepatitis B virus in mammals and humans. MeC(OSiMe3):NSiMe3 was added to a suspension of 5-propyluracil and 3'-fluoro-3'-deoxythymidine in MeCN. After stirring 1 h, CF3SO3SiMe3 was added and the resulting mixt. was stirred 138 h at room temp., evapd. in vacuo, and treated with H2O to give, after filtration and purifn. by HPLC on a C18-column, 7% III. III, II (R = Et, R7 = H), II (R = R7 = H), and II (R = Me, R7 = Ac) in vitro inhibited the HIV infection of H9 cells with IC50 values of 1, <1, 0.5, and <0.01 .mu.M, resp.

IT 99614-77-4P 124493-83-0P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(prepn. of, as virucide)

RN 99614-77-4 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-(3-azido-3-deoxy-.beta.-D-arabinofuranosyl)-5-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 124493-83-0 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-(3-deoxy-3-fluoro-.beta.-D-arabinofuranosyl)-5-methyl- (9CI) (CA INDEX NAME)

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L4 ANSWER 7 OF 9 CAPLUS COPYRIGHT 2002 ACS
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AN 1989:526519 CAPLUS

DN 111:126519

TI Inhibition of the replication of human hepatitis B virus

AU Tsibinogin, V. V.; Kraevskii, A. A.; Bibilashvili, R. Sh.; Grens, E.; Kiselev, L. L.

CS Inst. Org. Synth., Riga, 226006, USSR

SO Molekulyarnaya Biologiya (Moscow) (1989), 23(4), 983-7 CODEN: MOBIBO; ISSN: 0026-8984

DT Journal

LA Russian

AB Several nucleoside 5'-triphosphate analogs were investigated as inhibitors of human hepatitis B virus replication. Different analogs inhibited DNA synthesis differently, 3'-azido-2',3'-dideoxythymidine 5'-triphosphate being the most active compd. This inhibitor blocked DNA synthesis by 50% at an inhibitor:substrate molar ratio of 1:8, and by 80% at 1:1. The hypothesis is formulated that 3'-azido-2',3'-deoxythymidine 5'-triphosphate inhibits RNA-directed viral DNA replication due to incorporation of this compd. into the 3'-termini of newly synthesized DNA chains.

## IT 99614-92-3

RL: BIOL (Biological study)

(hepatitis B virus of humans replication inhibition by)

RN 99614-92-3 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[3-azido-3-deoxy-5-0-[hydroxy[[hydroxy(phosphonooxy)phosphinyl]oxy]phosphinyl]-.beta.-D-arabinofuranosyl]-5-methyl- (9CI) (CA INDEX NAME)

```
L4
     ANSWER 8 OF 9 USPATFULL
ΑN
       2002:32541 USPATFULL
       Method for the treatment or prevention of flavivirus infections using
ΤI
       nucleoside analogues
       Ismaili, Hicham Moulay Alaoui, Montreal, CANADA
ΙN
       Cheng, Yun-Xing, Dollard-des-Ormeaux, CANADA
       Lavallee, Jean-Francois, Bellefeuille, CANADA
       Siddiqui, Arshad, Dollard-des-Ormeaux, CANADA
       Storer, Richard, Baie d'Urfe, CANADA
                                20020214
PI
       US 2002019363
                          Α1
       US 2001-785235
                               20010220 (9)
ΑI
                          Α1
PRAI
       US 2000-183349P
                           20000218 (60)
DT
       Utility
FS
       APPLICATION
      MILLEN, WHITE, ZELANO & BRANIGAN, PC, 2200 CLARENDON BLVD, SUITE 1400,
LREP
       ARLINGTON, VA, 22201
CLMN
       Number of Claims: 18
ECL
       Exemplary Claim: 1
DRWN
      No Drawings
```

LN.CNT 1165

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The present invention relates to a method for the treatment or prevention of Flavivirus infections using nucleoside analogues in a host comprising administering a therapeutically effective amount of a compound having the formula I or a pharmaceutically acceptable salt thereof.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 70580-87-9 85708-20-9 123402-20-0

123402-25-5

(method for treatment or prevention of flavivirus infections using nucleoside analogs and their combination with other agents in relation to hepatitis C virus RNA-dependent RNA polymerase (NS5B protein))

RN 70580-87-9 USPATFULL

CN Cytidine, 3'-azido-3'-deoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 85708-20-9 USPATFULL

Absolute stereochemistry.

RN 123402-20-0 USPATFULL

CN Cytidine, 3'-deoxy-3'-fluoro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 123402-25-5 USPATFULL

Absolute stereochemistry.

```
ANSWER 9 OF 9 USPATFULL
L4
       96:29544 USPATFULL
ΑN
       1-(3'-fluoro-2',3'-dideoxy-.beta.-D-ribofuranosyl)-5-substituted
ΤТ
       pyrimidine nucleosides
       Johansson, Karl N. G., Enhorna, Sweden
IN
       Lindborg, BjoG., Avsjo, Sweden
       Norinder, Ulf, Sodertalje all of, Sweden
       Stening, Goran B., Sodertalje all of, Sweden
       Medivir AB, Huddinge, Sweden (non-U.S. corporation)
PA
                               19960409
PΙ
       US 5506215
ΑI
       US 1994-354769
                               19941212 (8)
       Continuation-in-part of Ser. No. US 1991-802706, filed on 6 Dec 1991,
RLI
       now abandoned which is a continuation of Ser. No. US 1990-518495, filed
       on 3 May 1990, now abandoned which is a continuation-in-part of Ser. No.
       US 1988-266402, filed on 2 Nov 1988, now abandoned
PRAI
       SE 1987-4298
                           19871103
DT
       Utility
FS
       Granted
EXNAM
       Primary Examiner: Kunz, Gary L.
       Birch, Stewart, Kolasch & Birch
LREP
       Number of Claims: 6
CLMN
       Exemplary Claim: 1
ECL
       No Drawings
DRWN
LN.CNT 1253
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
       A 2',3'-deoxy-3'-fluoro-pyrimidine nucleoside having the formula:
       ##STR1## wherein R.sup.1 is OH or NH.sub.2;
       R.sup.2 is CF.sub.3, CH.sub.2 CH.sub.2 CH.sub.3, ##STR2## CH.sub.2
       OCH.sub.3, CH.sub.2 SCH.sub.3, CH.dbd.CH.sub.2 CH.dbd.CH--CH.sub.3,
```

or a pharmaceutically acceptable salt thereof.

C.tbd.CH, C.tbd.C--CH.sub.3 or CH.sub.2 --C.tbd.CH;

These nucleoside analogs exhibit antiviral activity against HIV.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 99614-77-4P 124493-83-0P 178374-50-0P

(prepn. of (fluorodideoxy-.beta.-D-ribofuranosyl)pyrimidine nucleosides as antiviral agents against HIV)

RN 99614-77-4 USPATFULL

CN 2,4(1H,3H)-Pyrimidinedione, 1-(3-azido-3-deoxy-.beta.-D-arabinofuranosyl)-5-methyl- (9CI) (CA INDEX NAME)

RN 124493-83-0 USPATFULL

CN 2,4(1H,3H)-Pyrimidinedione, 1-(3-deoxy-3-fluoro-.beta.-D-arabinofuranosyl)-5-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 178374-50-0 USPATFULL

CN 2,4(1H,3H)-Pyrimidinedione, 3-benzoyl-1-(3-deoxy-3-fluoro-.beta.-D-arabinofuranosyl)-5-methyl- (9CI) (CA INDEX NAME)